Fluorescence studies of new potential antitumoral indole derivatives in lipid membranes

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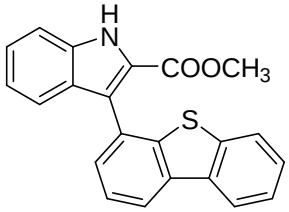
Many biologically active compounds, including some important anticancer drugs, include the indole nucleus. Three new indole derivatives recently obtained (structures shown below) were evaluated for their capacity to inhibit the *in vitro* growth of three human tumor cell lines, MCF-7 (breast adenocarcinoma), NCI-H460 (non-small cell lung cancer), and SF-268 (CNS cancer). Compound **1** showed the better results in all the three tumor cell lines (GI_{50} 11-17 μM), compound **2** showed only a moderated growth inhibitory effect in all cell lines and compound **3** showed better results for NCI-460 cell line (GI_{50} 18 μM) [1].



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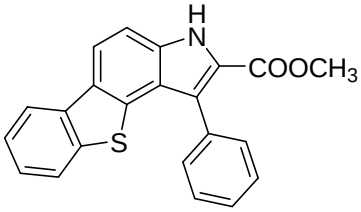

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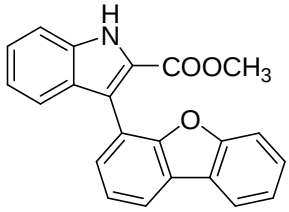

3

Fluorescence emission and fluorescence anisotropy studies of these compounds incorporated in lipid aggregates of DPPC (dipalmitoyl phosphatidylcholine), DOPE (dioleoyl phosphatidylethanolamine) and Egg-PC (egg yolk phosphatidylcholine) indicate that compounds **1** and **3** are located near the hydrophobic lipid tails and are able to detect the gel to liquid-crystalline phase of DPPC.

Acknowledgements: This work was funded by FCT and FEDER through CFUM and CQ-UM, project POCI/QUI/59407/2004 and post-Doc grant (SFRH/BPD/24548/2005) of A.S.A.

[1] M.-J.R.P. Queiroz, A.S. Abreu, M.S.D. Carvalho, P.M.T. Ferreira, N. Nazareth, M.S.J. Nascimento, *Bioorg. Med. Chem*. **2008**, *16*, 5584-5589.